

EAST 09/835, 523

L Number	Hits	Search Text	DB	Time stamp
4	2501	((514/252.01) or (514/248) or (514/406) or (514/407)).CCLS.	USPAT; US-PGPUB	2004/04/20 18:28
5	2891	((540/553) or (544/238) or (548/356.1) or (548/364.1) or (548/364.7)).CCLS.	USPAT; US-PGPUB	2004/04/20 18:29
6	4937	((514/252.01) or (514/248) or (514/406) or (514/407)).CCLS.) or ((540/553) or (544/238) or (548/356.1) or (548/364.1) or (548/364.7)).CCLS.)	USPAT; US-PGPUB	2004/04/20 18:29
7	596	((514/252.01) or (514/248) or (514/406) or (514/407)).CCLS.) or ((540/553) or (544/238) or (548/356.1) or (548/364.1) or (548/364.7)).CCLS.)) and (diaza or pyrazolidin or pyrazolidinyl or dihydropyridazin or dihydropyridazinyl)	USPAT; US-PGPUB	2004/04/20 18:30

09/ 835,523

## Connecting via Winsock to STN

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TERMINAL (ENTER 1, 2, 3, OR ?):2

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America  
NEWS 2 "Ask CAS" for self-help around the clock  
NEWS 3 JAN 27 Source of Registration (SR) information in REGISTRY updated and searchable  
NEWS 4 JAN 27 A new search aid, the Company Name Thesaurus, available in CA/CAplus  
NEWS 5 FEB 05 German (DE) application and patent publication number format changes  
NEWS 6 MAR 03 MEDLINE and LMEDLINE reloaded  
NEWS 7 MAR 03 MEDLINE file segment of TOXCENTER reloaded  
NEWS 8 MAR 03 FRANCEPAT now available on STN  
NEWS 9 MAR 29 Pharmaceutical Substances (PS) now available on STN  
NEWS 10 MAR 29 WPIFV now available on STN  
NEWS 11 MAR 29 No connect hour charges in WPIFV until May 1, 2004  
NEWS 12 MAR 29 New monthly current-awareness alert (SDI) frequency in RAPRA

NEWS EXPRESS MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 13 APRIL 2004

NEWS HOURS STN Operating Hours Plus Help Desk Availability

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FILE 'HOME' ENTERED AT 13:58:14 ON 20 APR 2004

=> file reg  
COST IN U.S. DOLLARS  
-----  
FULL ESTIMATED COST

SINCE FILE TOTAL  
ENTRY SESSION  
0 42 0 42

FILE 'REGISTRY' ENTERED AT 13:59:25 ON 20 APR 2004  
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STRUCTURE FILE UPDATES: 19 APR 2004 HIGHEST RN 676225-08-4  
 DICTIONARY FILE UPDATES: 19 APR 2004 HIGHEST RN 676225-08-4

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

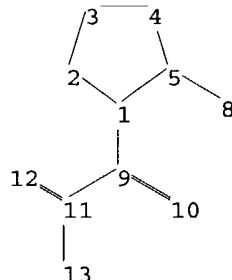
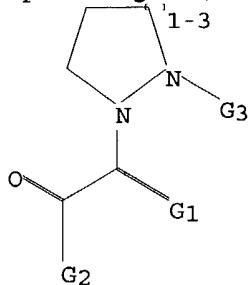
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:

<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

Uploading C:\STNEXP4\QUERIES\09835523.str



chain nodes :  
 8 9 10 11 12 13

ring nodes :

1 2 3 4 5

chain bonds :

1-9 5-8 9-10 9-11 11-12 11-13

ring bonds :

1-2 1-5 2-3 3-4 4-5

exact/norm bonds :

1-9 5-8 9-10 11-12 11-13

exact bonds :

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isolated ring systems :

containing 1 :

G1:O,S

G2:H,Cb,Hy,Ak

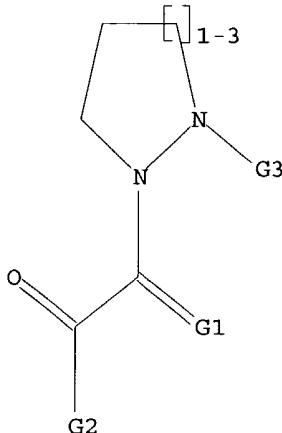
G3:C,O,S,N,P

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS  
 13:CLASS

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=> d l1  
L1 HAS NO ANSWERS  
L1 STR



G1 O, S  
G2 H, Cb, Hy, Ak  
G3 C, O, S, N, P

Structure attributes must be viewed using STN Express query preparation.

=> s l1 ful  
FULL SEARCH INITIATED 14:00:04 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 138 TO ITERATE  
  
100.0% PROCESSED 138 ITERATIONS 28 ANSWERS  
SEARCH TIME: 00.00.01  
  
L2 28 SEA SSS FUL L1  
  
=> file caplus

FILE 'CAPLUS' ENTERED AT 14:00:08 ON 20 APR 2004  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
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FILE COVERS 1907 - 20 Apr 2004 VOL 140 ISS 17  
FILE LAST UPDATED: 19 Apr 2004 (20040419/ED)

09/ 835,523

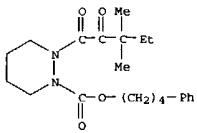
This file contains CAS Registry Numbers for easy and accurate  
substance identification.

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L3            5 L2

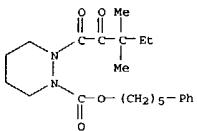
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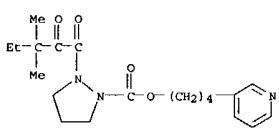
L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 CN 1(2H)-Pyridazinecarboxylic acid, 2-(3,3-dimethyl-1,2-dioxopentyl)tetrahydro-, 4-phenylbutyl ester (9CI) (CA INDEX NAME)



RN 340256-04-4 CAPLUS  
 CN 1(2H)-Pyridazinecarboxylic acid, 2-(3,3-dimethyl-1,2-dioxopentyl)tetrahydro-, 5-phenylpentyl ester (9CI) (CA INDEX NAME)

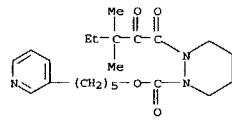


RN 648958-29-6 CAPLUS  
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RN 648958-30-9 CAPLUS  
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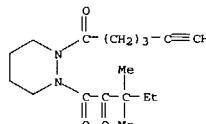
L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



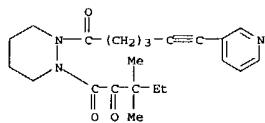
IT 340256-19-1P 340256-20-4P 648958-45-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (aza-proline and aza-pipecolic derivs. as FKB12 ligands with neuronal-protective effects)

RN 340256-19-1 CAPLUS  
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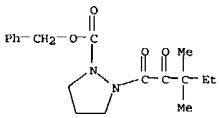


RN 340256-20-4 CAPLUS  
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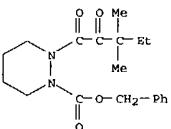


RN 648958-45-6 CAPLUS  
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L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



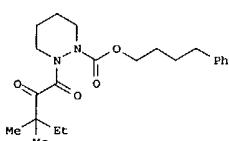
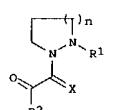
RN 648958-46-7 CAPLUS  
 CN 1(2H)-Pyridazinecarboxylic acid, 2-(3,3-dimethyl-1,2-dioxopentyl)tetrahydro-, phenylmethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN  
 2002:172490 CAPLUS  
 136:232310  
 ACCESSION NUMBER:  
 DOCUMENT NUMBER:  
 TITLE:  
 Preparation of N-substituted cyclic aza compounds having neuronal activity  
 INVENTOR(S): Wu, Yong-qian; Huang, Wei; Hamilton, Gregory S.  
 PATENT ASSIGNEE(S): USA  
 SOURCE: U.S. Pat. Appl. Publ., 54 pp., Cont.-in-part of U. S. Ser. No. 551,618.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002028014	A1	20020307	US 2001-035523	20010417
US 6417189	B1	20020709	US 2000-551618	20000417
PRIORITY APPLN. INFO.:			US 1999-164950P	P 19991112
			US 2000-551618	A2 20000417
OTHER SOURCE(S):		MARPAT 136:232310		
G1				



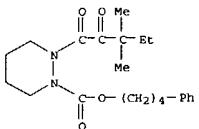
AB Title compds. I [n = 1-3; R1 = CR3, CO2R3, COR3, etc.; R2, R3 = H, alkyl, alkenyl, etc.; X = O, S], useful for effecting neuronal activities, were prepared. Thus, II was prepared via a multi-step synthesis from tert-Bu 2-benzyloxydihydropyridazinecarboxylate. Biol. data for I (results of test for rotamase inhibition and MPTP model of Parkinson's disease) were given. E.g., II possessed a Ki value of 1175 nM in inhibition studies of rotamase and a 14% TH recovery in MPTP models.

IT 340255-68-7P 340255-88-1P 340255-89-2P  
 340255-90-5P 340255-91-6P 340255-92-7P

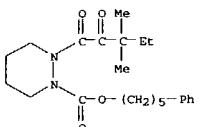
*Gregory S.*



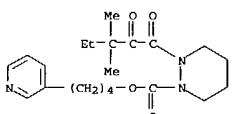
L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 RN 340256-03-3 CAPLUS  
 CN 1(2H)-Pyridazinecarboxylic acid, 2-(3,3-dimethyl-1,2-dioxopentyl)tetrahydro-, 4-phenylbutyl ester (9CI) (CA INDEX NAME)



RN 340256-04-4 CAPLUS  
 CN 1(2H)-Pyridazinecarboxylic acid, 2-(3,3-dimethyl-1,2-dioxopentyl)tetrahydro-, 5-phenylpentyl ester (9CI) (CA INDEX NAME)

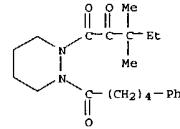


RN 340256-07-7 CAPLUS  
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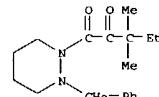


RN 340256-09-9 CAPLUS  
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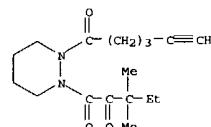
L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



IT 340256-17-9P 340256-19-1P 340256-20-4P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of N-substituted cyclic aza compds. having neuronal activity)  
 RN 340256-17-9 CAPLUS  
 CN Pyridazine, 1-(3,3-dimethyl-1,2-dioxopentyl)hexahydro-2-(phenylmethyl)- (9CI) (CA INDEX NAME)

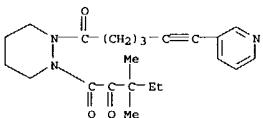


RN 340256-19-1 CAPLUS  
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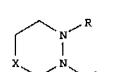
RN 340256-20-4 CAPLUS  
 CN Pyridazine, 1-(3,3-dimethyl-1,2-dioxopentyl)hexahydro-2-(1-oxo-6-(1-pyridinyl)-5-hexynyl)- (9CI) (CA INDEX NAME)

L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



L3 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2001-300059 CAPLUS  
 DOCUMENT NUMBER: 135:33143  
 TITLE: Preparation of cyclic diaza compounds for treating neurodegenerative disorders  
 INVENTOR(S): Wu, Yong Qian; Huang, Wei; Hamilton, Gregory S.  
 PATENT ASSIGNEE(S): GUI NIL Holdings, Inc., USA  
 SOURCE: PCT Int. Appl., 162 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

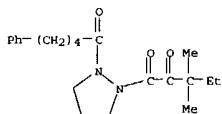
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 2001-091177	A1	20011025	WO 2001-US12322	20010417	
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PRIORITY APPLN. INFO.:			US 2000-551618	A	20000417
			US 1999-164950P	P	19991112
OTHER SOURCE(S):			MARPAT 135:331433		
G1					



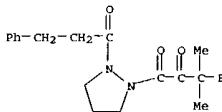
AB Title compds. [I]: X = bond, CH<sub>2</sub>; R = COY(CH<sub>2</sub>)nC<sub>6</sub>H<sub>5</sub>, 5-(3-pyridyl)-pent-4-ynoyl, NCCCC(CH<sub>2</sub>)<sub>2</sub>CO, 5-(3-pyridyl)-pentanoyl, 3-(3-pyridyl)-propoxycarbonyl; Y = O, bond; n = 5, 4, 3, 2; R1 = C<sub>6</sub>H<sub>5</sub>CH<sub>2</sub>SO<sub>2</sub>, (CH<sub>3</sub>CH<sub>2</sub>)<sub>2</sub>CCOCO, C<sub>6</sub>H<sub>5</sub>CH<sub>2</sub>SO<sub>2</sub>, cyclohexylaminocarbonyl) are prepared for pharmaceutical compds. and methods of their use for effecting neuronal activities. Thus, the title compound I (X = bond; Y = bond; n = 4; R = COY(CH<sub>2</sub>)nC<sub>6</sub>H<sub>5</sub>; R1 = (CH<sub>3</sub>CH<sub>2</sub>)<sub>2</sub>CCOCO) was prepared and bioassayed in mice for MPTP model of Parkinson's disease and showed recovery of TH-stained dopaminergic neurons.

IT 340255-68-7P 340255-88-1P 340255-89-2P  
 340255-91-6P 340255-92-7P 340255-93-8P  
 340255-94-9P 340255-95-0P 340255-96-1P  
 340255-99-4P 340256-00-0P 340256-01-1P  
 340256-02-2P 340256-03-3P 340256-04-4P  
 340256-07-7P 340256-09-9P 369390-81-1P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of cyclic diaza compds. for treating neurodegenerative disorders)

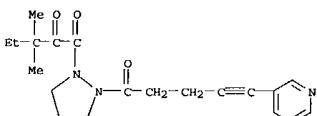
L3 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 RN 340255-68-7 CAPLUS  
 CN Pyrazolidine, 1-(3,3-dimethyl-1,2-dioxopentyl)-2-(1-oxo-5-phenylpentyl)-(9CI) (CA INDEX NAME)



RN 340255-88-1 CAPLUS  
 CN Pyrazolidine, 1-(3,3-dimethyl-1,2-dioxopentyl)-2-(1-oxo-3-phenylpropyl)-(9CI) (CA INDEX NAME)



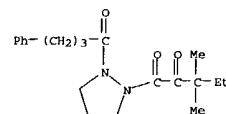
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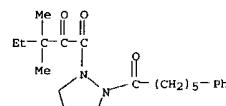
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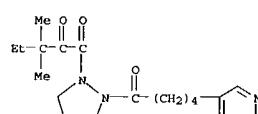
L3 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



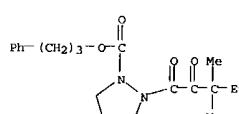
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RN 340255-93-8 CAPLUS  
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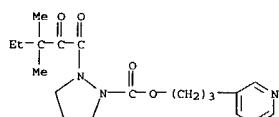


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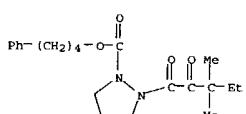


L3 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

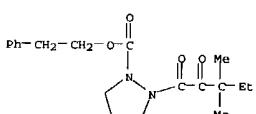
RN 340255-95-0 CAPLUS  
 CN 1-Pyrazolidinecarboxylic acid, 2-(3,3-dimethyl-1,2-dioxopentyl)-3-(3-pyridinyl)propyl ester (9CI) (CA INDEX NAME)



RN 340255-96-1 CAPLUS  
 CN 1-Pyrazolidinecarboxylic acid, 2-(3,3-dimethyl-1,2-dioxopentyl)-4-phenylbutyl ester (9CI) (CA INDEX NAME)

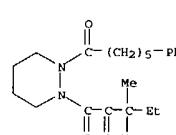


RN 340255-99-4 CAPLUS  
 CN 1-Pyrazolidinecarboxylic acid, 2-(3,3-dimethyl-1,2-dioxopentyl)-2-phenylethyl ester (9CI) (CA INDEX NAME)

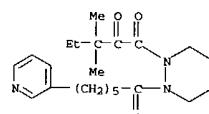


RN 340256-00-0 CAPLUS  
 CN Pyridazine, 1-(3,3-dimethyl-1,2-dioxopentyl)hexahydro-2-(1-oxo-6-phenylhexyl)-(9CI) (CA INDEX NAME)

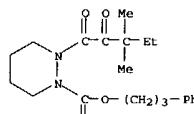
L3 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



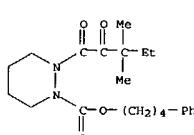
RN 340256-01-1 CAPLUS  
 CN Pyridazine, 1-(3,3-dimethyl-1,2-dioxopentyl)hexahydro-2-(1-oxo-6-(3-pyridinyl)hexyl)-(9CI) (CA INDEX NAME)



RN 340256-02-2 CAPLUS  
 CN 1(2H)-Pyridazinecarboxylic acid, 2-(3,3-dimethyl-1,2-dioxopentyl)tetrahydro-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)



RN 340256-03-3 CAPLUS  
 CN 1(2H)-Pyridazinecarboxylic acid, 2-(3,3-dimethyl-1,2-dioxopentyl)tetrahydro-, 4-phenylbutyl ester (9CI) (CA INDEX NAME)



RN 340256-04-4 CAPLUS



L3 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

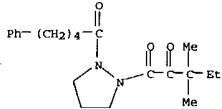
340256-01-1P 340256-02-2P 340256-03-3P

340256-04-4P 340256-07-7P 340256-09-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPP (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses); (prepn. of N-substituted cyclic aza compds. having neuronal activity)

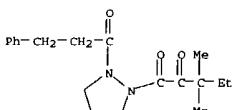
RN 340255-68-7 CAPLUS

CN Pyrazolidine, 1-(3,3-dimethyl-1,2-dioxopentyl)-2-(1-oxo-5-phenylpentyl)-(9CI) (CA INDEX NAME)



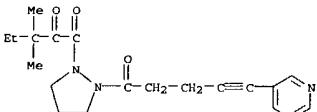
RN 340255-88-1 CAPLUS

CN Pyrazolidine, 1-(3,3-dimethyl-1,2-dioxopentyl)-2-(1-oxo-3-phenylpropyl)-(9CI) (CA INDEX NAME)



RN 340255-89-2 CAPLUS

CN Pyrazolidine, 1-(3,3-dimethyl-1,2-dioxopentyl)-2-(1-oxo-5-(3-pyridinyl)-4-pentynyl)-(9CI) (CA INDEX NAME)



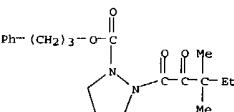
RN 340255-90-5 CAPLUS

CN Pyrazolidine, 1-(3,3-dimethyl-1,2-dioxopentyl)-2-(1-oxo-4-pentynyl)-(9CI) (CA INDEX NAME)

L3 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

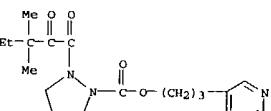
RN 340255-94-9 CAPLUS

CN 1-Pyrazolidinecarboxylic acid, 2-(3,3-dimethyl-1,2-dioxopentyl)-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)



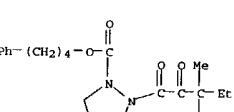
RN 340255-95-0 CAPLUS

CN 1-Pyrazolidinecarboxylic acid, 2-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(3-pyridinyl)propyl ester (9CI) (CA INDEX NAME)



RN 340255-96-1 CAPLUS

CN 1-Pyrazolidinecarboxylic acid, 2-(3,3-dimethyl-1,2-dioxopentyl)-, 4-phenylbutyl ester (9CI) (CA INDEX NAME)



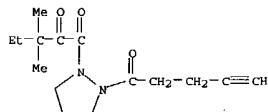
RN 340255-99-4 CAPLUS

CN 1-Pyrazolidinecarboxylic acid, 2-(3,3-dimethyl-1,2-dioxopentyl)-, 2-phenylethyl ester (9CI) (CA INDEX NAME)

RN 340255-99-4 CAPLUS

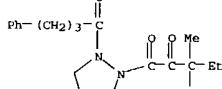
CN 1-Pyrazolidinecarboxylic acid, 2-(3,3-dimethyl-1,2-dioxopentyl)-, 2-phenylethyl ester (9CI) (CA INDEX NAME)

L3 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



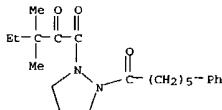
RN 340255-91-6 CAPLUS

CN Pyrazolidine, 1-(3,3-dimethyl-1,2-dioxopentyl)-2-(1-oxo-4-phenylbutyl)-(9CI) (CA INDEX NAME)



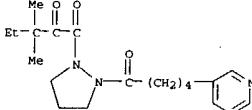
RN 340255-92-7 CAPLUS

CN Pyrazolidine, 1-(3,3-dimethyl-1,2-dioxopentyl)-2-(1-oxo-6-phenylhexyl)-(9CI) (CA INDEX NAME)

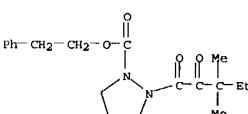


RN 340255-93-8 CAPLUS

CN Pyrazolidine, 1-(3,3-dimethyl-1,2-dioxopentyl)-2-(1-oxo-5-(3-pyridinyl)pentyl)-(9CI) (CA INDEX NAME)

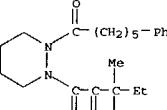


L3 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



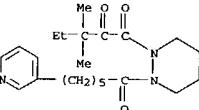
RN 340256-00-0 CAPLUS

CN Pyridazine, 1-(3,3-dimethyl-1,2-dioxopentyl)hexahydro-2-(1-oxo-6-phenylhexyl)-(9CI) (CA INDEX NAME)



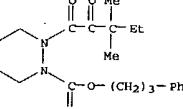
RN 340256-01-1 CAPLUS

CN Pyridazine, 1-(3,3-dimethyl-1,2-dioxopentyl)hexahydro-2-[1-oxo-6-(3-pyridinyl)hexyl]-(9CI) (CA INDEX NAME)



RN 340256-02-2 CAPLUS

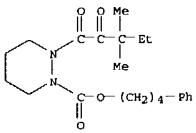
CN 1(2H)-Pyridazinecarboxylic acid, 2-(3,3-dimethyl-1,2-dioxopentyl)tetrahydro-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)



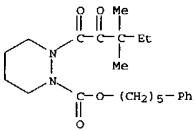
RN 340256-03-3 CAPLUS

CN 1(2H)-Pyridazinecarboxylic acid, 2-(3,3-dimethyl-1,2-

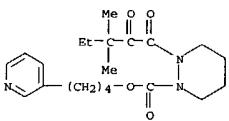
L3 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
dioxopentyl)tetrahydro-, 4-phenylbutyl ester (9CI) (CA INDEX NAME)



RN 340256-04-4 CAPLUS  
CN 1(2H)-Pyridazinecarboxylic acid, 2-(3,3-dimethyl-1,2-dioxopentyl)tetrahydro-, 5-phenylpentyl ester (9CI) (CA INDEX NAME)

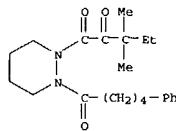


RN 340256-07-7 CAPLUS  
CN 1(2H)-Pyridazinecarboxylic acid, 2-(3,3-dimethyl-1,2-dioxopentyl)tetrahydro-, 4-(3-pyridinyl)butyl ester (9CI) (CA INDEX NAME)

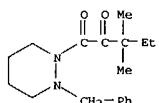


RN 340256-09-9 CAPLUS  
CN Pyridazine, 1-(3,3-dimethyl-1,2-dioxopentyl)hexahydro-2-(1-oxo-5-phenylpentyl)- (9CI) (CA INDEX NAME)

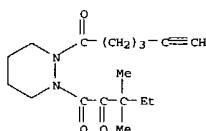
L3 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



IT 340256-17-9 CAPLUS  
RN RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of N-substituted cyclic aza compds. having neuronal activity)  
RN 340256-17-9 CAPLUS  
CN Pyridazine, 1-(3,3-dimethyl-1,2-dioxopentyl)hexahydro-2-(phenylmethyl)- (9CI) (CA INDEX NAME)

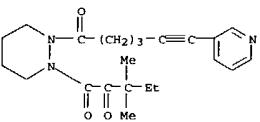


RN 340256-19-1 CAPLUS  
CN Pyridazine, 1-(3,3-dimethyl-1,2-dioxopentyl)hexahydro-2-(1-oxo-5-hexynyl)- (9CI) (CA INDEX NAME)



RN 340256-20-4 CAPLUS  
CN Pyridazine, 1-(3,3-dimethyl-1,2-dioxopentyl)hexahydro-2-[1-oxo-6-(3-pyridinyl)-5-hexynyl]- (9CI) (CA INDEX NAME)

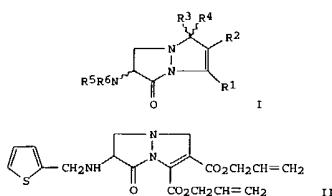
L3 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

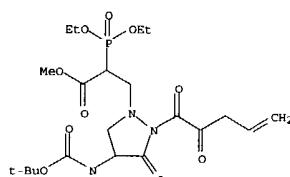
L3 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1987:119880 CAPLUS  
DOCUMENT NUMBER: 106:19880  
TITLE: 7-Substituted bicyclic pyrazolidinones, their preparation and their use as antibacterials  
INVENTOR(S): Jungheim, Louis Nikolaus; Sigmund, Sandra Kay; Holmes, Richard Elmer; Barnett, Charles Jackson; Ternansky, Robert John  
PATENT ASSIGNEE(S): Eli Lilly and Co., USA  
SOURCE: Eur. Pat. Appl., 337 pp.  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 202046	A1	19861120	EP 1986-303174	19860428
EP 202046	B1	19910130		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
CN 86103619	A	19861029	CN 1986-103619	19860428
AU 8656755	A1	19861113	AU 1986-56755	19860428
DK 8601930	A	19870120	DK 1986-1930	19860428
HU 40660	A2	19870128	HU 1986-1763	19860428
ZA 6603170	A	19871230	ZA 1986-3170	19860428
ES 554463	A1	19880216	ES 1986-554463	19860428
CA 1274832	A1	19901002	CA 1986-507777	19860428
AT 60605	E	19910215	AT 1986-303174	19860428
JP 61254589	A2	19861112	JP 1986-100817	19860430
JP 07059582	B4	19950626		
US 4716232	A	19871239	US 1986-862913	19860430
US 4734505	A	19880329	US 1986-062909	19860514
US 4734504	A	19880329	US 1986-062918	19860514
JP 63112583	A2	19880517	JP 1986-250804	19861028
US 4795815	A	19890103	US 1987-114897	19871029
ZA 8802604	A	19891227	ZA 1988-2604	19880413
US 4940718	A	19900710	US 1989-418782	19891002
US 5011938	A	19910430	US 1990-503574	19900403
PRIORITY APPLN. INFO.:			US 1985-729021	19850430
			EP 1986-303174	19860428
			US 1986-862906	19860514
			US 1986-862916	19860514
			US 1987-42196	19870423
			US 1987-103488	19870930
			US 1989-418782	19891002



**AB** The title compds. I (I of R1, R2 = H, halo, Cl-6 (un)substituted alkyl, perfluoro C2-4 alkyl, C7-12 (un)substituted aralkyl, (un)substituted Ph, heterocycl, NO2, cyano, CX3 [X = F, Cl, Br, iodol, S(O)zR7 [z = 0-2; R7 = Cl-6 (un)substituted alkyl, Ph, C7-12 arylalkyl, heterocyclyl], CO2R8 [R8 = H, Cl-6 (un)substituted alkyl, perfluoro C2-4 alkyl, CCl3, etc.], CO2R9 [R9 = H, cation, Cl-6 (un)substituted alkyl, etc.], PO3(R10)2 [R10 = H, cation, Cl-6 (un)substituted alkyl, etc.], CH2N+ tpbond O (N+ tpbond O = quaternary ammonium group), heterocyclithiomethyl, OR11 [R11 = H, Cl-6 (un)substituted alkyl, etc.], NR12R13 [R12, R13 = H, Cl-6 (un)substituted alkyl, etc.], CO2R14 [R14 = Cl-6 alkyl, C7-12 arylalkyl, Ph]; the other of R1, R2 = CO2R15 [R15 = cation, CO2H-protecting group, non-toxic, metabolically labile ester-forming group; R3, R4 = H, Cl-6 (un)substituted alkyl, C7-12 (un)substituted arylalkyl, (un)substituted Ph, CO2R9; R5, R6 = H, amino protecting group, Cl-30 acyl; at least 1 of R5, R6 = H; R5R6N = phthalimidol and their pharmaceutically acceptable salts, useful as antibacterials (no data), were prepared. Me 3-hydroxy-2(S)-(tert-butoxycarbonylamino)propanoate was tosylated and the product cyclocondensed with N2H4 to give 48% 4(R,S)-(tert-butoxycarbonylamino)-3-oxo-1-pyrazoline. Treatment with 37% aqueous HCHO gave the 1-methylenepyrazolidinium ylide, which underwent cycloaddn. with diallyl butylenedioate to give 32.8% diallyl 7(R,S)-(tert-butoxycarbonylamino)-8-oxo-1,5-diazabicyclo[3.3.0]oct-2-ene-2,3-dicarboxylate. This was deprotected and the free amino group acylated with 2-thienylacetyl chloride to give 62% 7(R,S)-II.

**IT** 106892-69-79 106892-71-19  
**RL**: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactants or reagent)  
 (preparation and cyclization of)  
**RN** 106892-69-7 CAPLUS  
**CN** 1-Pyrazolidinepropanoic acid,  $\alpha$ -(diethoxyphosphinyl)-4-[(1,1-dimethylethoxy)carbonyl]amino-2-(1,2-dioxo-4-pentenyl)-3-oxo-, methyl ester (9CI) (CA INDEX NAME)



**RN** 106892-71-1 CAPLUS  
**CN** 1-Pyrazolidinepropanoic acid,  $\alpha$ -(diethoxyphosphinyl)-4-[(1,1-dimethylethoxy)carbonyl]amino-2-(1,2-dioxo-4-pentenyl)-3-oxo-, ethyl ester (9CI) (CA INDEX NAME)

